

TITLE: Preparation of 5-amino-pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as adenosine A2a receptor antagonists

INVENTOR(S): Neustadt, Bernard R.; Lindo, Neil A.; Greenlee, William J.; Tulshian, Deen; Silverman, Lisa S.; Xia, Yan; Boyle, Craig D.; Chackalamannil, Samuel

PATENT ASSIGNEE(S): Schering Corporation, USA

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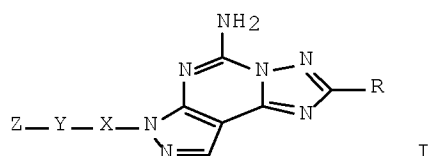
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001092264	A1	20011206	WO 2001-US16954	20010524 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1283839	B1	20050420		
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CN 1451007	A	20031022	CN 2001-813449	20010524 <--
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BR 2001011015	A	20050111	BR 2001-11015	20010524 <--
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IN 2002CN01932	A	20050211	IN 2002-CN1932	20021125 <--
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US 6897216	B2	20050524		
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JP 2007145875	A	20070614	JP 2007-69618	20070316 <--
PRIORITY APPLN. INFO.:			US 2000-207143P	P 20000526 <--
			CN 2001-813449	A3 20010524 <--
			JP 2002-500877	A3 20010524 <--
			US 2001-865071	A3 20010524 <--
			WO 2001-US16954	W 20010524 <--
			US 2003-448854	A3 20030530 <--
OTHER SOURCE(S):		MARPAT 136:20084		

GI



AB The title compds. [I; R = (un)substituted Ph, cycloalkenyl, heteroaryl; X = alkylene, COCH<sub>2</sub>; Y = O, S, CH<sub>2</sub>S, (CH<sub>2</sub>)<sub>2</sub>NH, etc.; Z = (un)substituted Ph, phenylalkyl heteroaryl, etc.; or Z and Y together are substituted piperidinyl or phenyl], useful in the treatment of Parkinson's disease, alone or in combination with other agents for treating Parkinson's disease, were prepared and formulated. E.g., a multi-step synthesis of I [R = 2-furanyl; X = (CH<sub>2</sub>)<sub>2</sub>; ZY = 4-(2,4-difluorophenyl)piperazin-1-yl] was described. Compds. I showed K<sub>i</sub> of 0.3-57 nM against A<sub>2a</sub> receptor binding.

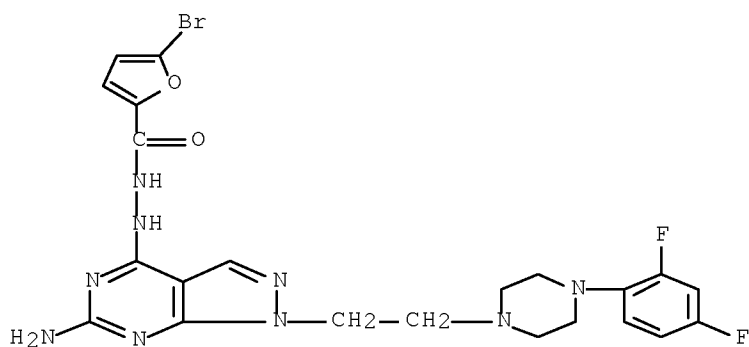
IT 377730-01-3 377730-02-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 5-amino-pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as adenosine A<sub>2a</sub> receptor antagonists)

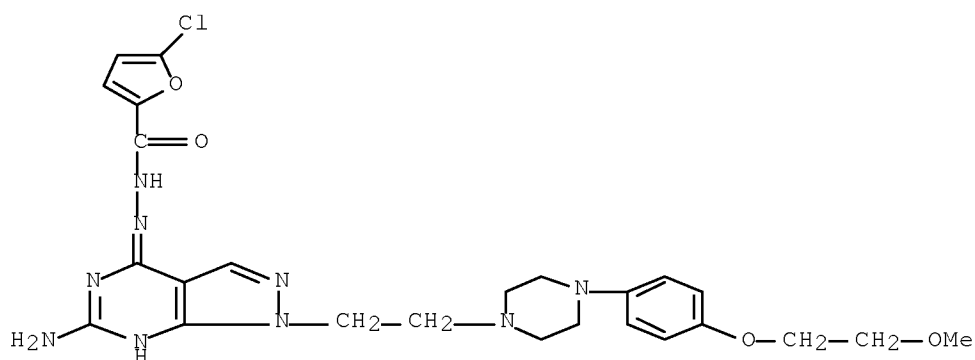
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CN 2-Furancarboxylic acid, 5-bromo-, 2-[6-amino-1-[2-[4-(2,4-difluorophenyl)-1-piperazinyl]ethyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]hydrazide (CA INDEX NAME)



RN 377730-02-4 ZCAPLUS

CN 2-Furancarboxylic acid, 5-chloro-, 2-[6-amino-1-[2-[4-[4-(2-methoxyethoxy)phenyl]-1-piperazinyl]ethyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]hydrazide (CA INDEX NAME)



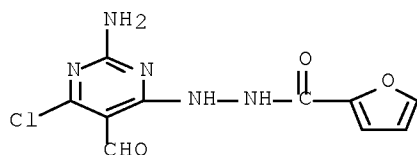
IT 377729-80-1P 377729-81-2P 377729-86-7P  
377729-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation of 5-amino-pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as  
adenosine A2a receptor antagonists)

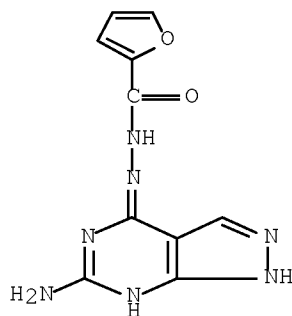
RN 377729-80-1 ZCAPLUS

CN 2-Furancarboxylic acid, 2-(2-amino-6-chloro-5-formyl-4-  
pyrimidinyl)hydrazide (CA INDEX NAME)



RN 377729-81-2 ZCAPLUS

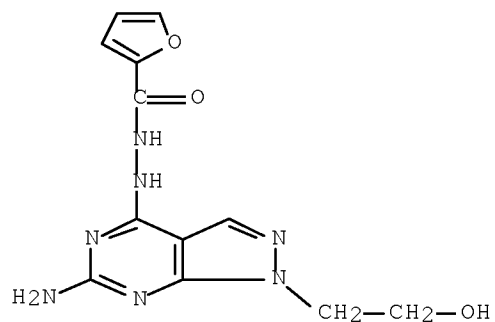
CN 2-Furancarboxylic acid, 2-(6-amino-1H-pyrazolo[3,4-d]pyrimidin-4-  
yl)hydrazide (CA INDEX NAME)



RN 377729-86-7 ZCAPLUS

CN 2-Furancarboxylic acid, 2-[6-amino-1-(2-hydroxyethyl)-1H-pyrazolo[3,4-

d]pyrimidin-4-yl]hydrazide (CA INDEX NAME)



RN 377729-93-6 ZCAPLUS

CN 2-Thiophenecarboxylic acid, 2-[6-amino-1-[2-[4-(2,4-difluorophenyl)-1-piperazinyl]ethyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]hydrazide (CA INDEX NAME)

